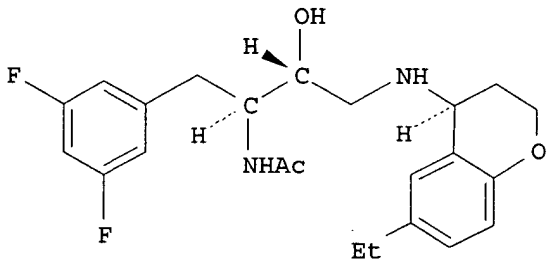
10/723,220



II

AB Disclosed are Z-X-NHCH(R1)CH(OH)C(R2)(R3)N(R15)(Rc) (I; variables defined below; e.g. II). Compds. disclosed herein are inhibitors of the beta-secretase enzyme (no data) and are therefore useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal (no data). An unspecified method of preparation is claimed and >100 example prepns. of intermediates and I are included. For example, II was prepared in 4 steps starting with preparation of (6-iodochroman-4-yl)amine from 6-iodo-4-chromanol followed by reaction with tert-Bu [(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiran-2-yl)ethyl] **carbamate** to give tert-Bu [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(6-iodo-3,4-dihydro-2H-chromen-4-yl)amino]propyl] **carbamate**, followed by ethylation. For I: Z is H, (C3-C7 cycloalkyl)0-1(C1-C6 alkyl)-, (C3-C7 cycloalkyl)0-1(C2-C6 alkenyl)-, (C3-C7 cycloalkyl)0-1(C2-C6 alkynyl)- or (C3-C7 cycloalkyl)-; X = C(O), SO2; R1 is C1-C10 alkyl (un)substituted with 1, 2, or 3 halogen, -OH, :O, -SH, -CN, -CF3, -OCF3, -C3-7 cycloalkyl, -C1-C4 alkoxy, amino, mono- or dialkylamino, aryl, heteroaryl, and heterocycloalkyl; R2 and R3 = H; F; -C1-C6 alkyl (un)substituted with -F, -OH, -CN, -CF3, C1-C3 alkoxy, or -NR5R6; -(CH2)0-2-R17; -(CH2)0-2-R18; -C2-C6 alkenyl or C2-C6 alkynyl;. R15 = H, C1-C6 alkyl, C1-C6 alkoxy, C1-C6 alkoxy C1-C6 alkyl, hydroxy C1-C6 alkyl, halo C1-C6 alkyl; R2, R3 and the C to which they are attached can form a C3-C7 carbocycle, wherein 1-3 C atoms are optionally replaced by -O-, -S-, -SO2-, -C(O)-, or -NR7-; Rc = -(CH2)0-3-(C3-C8) cycloalkyl, etc.; addnl. details are given in the claims.

L5 ANSWER 24 OF 78 CAPLUS COPYRIGHT 2005 ACS on STN
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